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CERIUM CHLORIDE MEDIATED SYNTHESIS OF AURONES USING MICROWAVE IRRADIATION

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ABSTRACT

Aurones were prepared by coupling of benzofuran-3(2H)-one with an aldehydes using catalytic amount of cerium chloride in DMF under Microwave. This reaction is quick and simple without any purification.

KEYWORDS: Aurones; Cerium chloride; Microwave ; Aurones & Aldehydes

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Original Article

INTRODUCTION

Aurones, a significant class of compounds in flavanoids are present in many plants [1-2]. Leafy foods are overall hotspots for flavanoids alongside a few flavones, isoflavones and chalcones (Figure. 1). From recent years these compounds have been all-around read for different infections, however, the biological application of aurones is less examined. Aurones are predominantly found in the utilization of hostile to malignant growth [3] antimalarial [4] and in microbial contaminations [5].

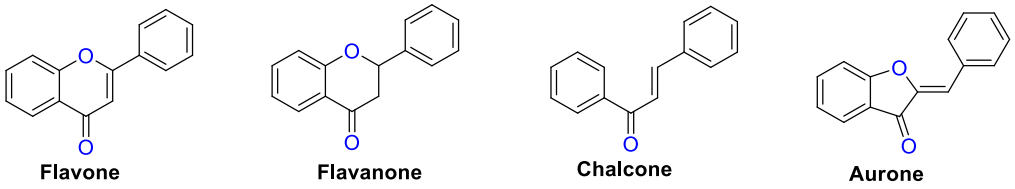


Figure 1: Some Flavonoid Sub-Classes

Aurones will be formed from chalcones by different methods by the usage of an enzyme aureusidinsynthase [6-8]. Aurones showed different activities [9] such as against cancer, anti-feedant and ant parasitic activities [10-14]etc.

There are different methods reported to synthesize aurones using aldol condensation reaction between benzofuran-3(2H)-ones and aldehydes. The main catalysts used for this are alumina [15-17], HCl [18], EDDA [19], and deep eutectic solvent[20]. To overcome the problems during the synthesis, we wish to develop an easy method.

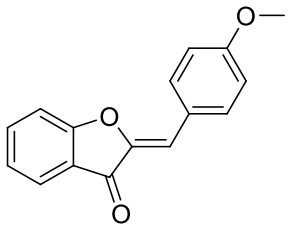
On the other hand, the use of a catalytic quantity of cerium chloride in Microwave was not used by many researchers. This reaction is having advantages such as simple, small cost, easy workup. Because of our advantage in the synergist advancement for the amalgamation of biologically important molecules [21-27], cerium chloride catalysed synthesis of aurones using microwave irradiation was reported.

MATERIALS AND METHODS

Synthetic method **for the condensation of coumarone and aromatic aldehydes**

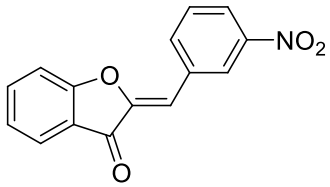
Benzofuranone (1 mmol.), aromatic aldehyde (1 mmol) in 3 milli litres of DMFwere taken in a microwave vial. To this Cerium chloride (1 mmol.) was added and irradiated under microwave for ten min. The reaction was evaporated under vacuum. The crude was crystallized from ethanol to get pure compound.

Compound (**3a**)



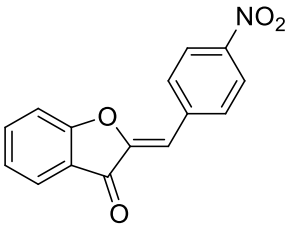
Melting point 134–136°C; ^{1}H NMR (400 MHz, Chlorofoem -D_3): δ ppm 7.92 (2H,d), 7.84 (1H, dd), 7.65 (1H, ddd), 7.36 (1H, d), 7.23 (1H,m), 6.95 (2H, d), 6.88 (1H, s), 3.85 (3H, s); ^{13}C NMR (125 MHz, Chlorofoem -D_3): δ ppm183.9, 166.0,162.0, 144.9, 137.2, 134.6, 126.1, 124.8, 124.4, 120.9, 113.9, 113.6, 112.5, 56.1; Mass. 253.4 [M+].

Compound(**3b**)



Melting point 163-166°C; ^{1}H NMR (400 MHz, Chlorofoem -D_3): δ ppm 8.79 (1H, s), 8.26 (1H, d), 8.17 (1H, d), 7.87 (1H, d), 7.69 (1H, t), 7.67 (1H, t), 7.40 (1H, d), 7.28 (1H, t), 6.84 (1H, s); ^{13}CNMR (125 MHz, Chlorofoem -D_3): δ ppm185.2, 166.9, 149.1, 147.7, 139.5, 138.0, 135.2, 131.2, 127.7, 126.3, 125.8, 124.9, 121.8, 115.1, 111.6; Mass. 268.2 [M+].

Compound (**3c**)



Melting point168-170 °C; ^{1}H NMR (400 MHz, Chlorofoem -D_3): δ ppm8.26 (d, 2H); 8.10 (d, 2H), 7.86 (d, 1H), 7.69 (t, 1H), 7.32 (d, 1H),7.24 (t, 1H), 6.81 (s, 1H); ^{13}C NMR (400 MHz, Chlorofoem -D_3): δ ppm207.0, 182.9, 164.8, 149.8, 139.2, 139.0, 131.8, 127.2, 126.8, 125.6, 104.5, 100.6; Mass. 268.2 [M+].

In Vitro Cytotoxicity Assay

All the synthesized derivatives were treated for anti-cancer activity against2 metastatic breast cancer cells (MDA-MB-231 and adenocarcinoma cells) using MTT method. Compounds 3a, 3e, 3g and 3i are prominent against the 2 cancer cells when compared with 5-Fluorouricial (5-FU).

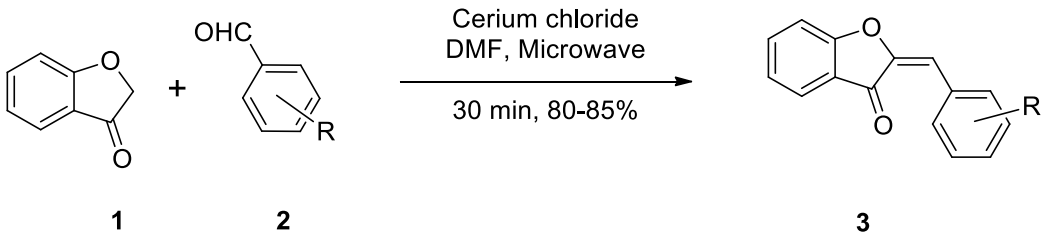
Table 1

Compound	IC_{50}(□M)	
	MDA-MB 231	MCF-7
3a	22	38
3b	36	48
3c	28	35
3d	32	39
3e	22	33
3f	49	48
3g	21	49
3h	29	46
3i	15	35
3j	23	32
Harmine	54	68

RESULTS AND DISCUSSIONS

Chemistry

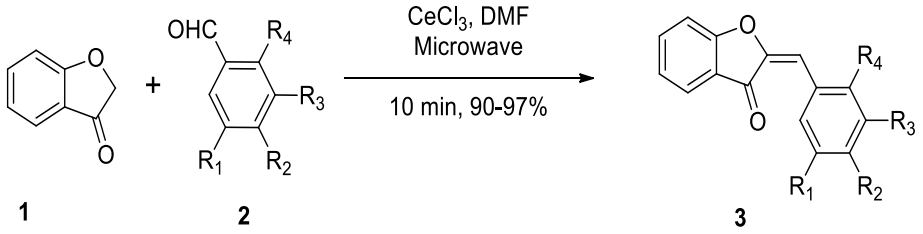
When benzofuranone **1** reacts with aromatic aldehydes **2,** using cerium chloride in DMFunder microwave irradiation led to aurones in good to excellent yields.

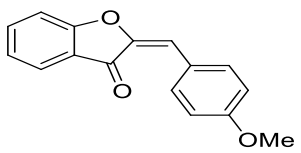


Scheme 1: Synthesis of Aurones using CeCl3 under Microwave.

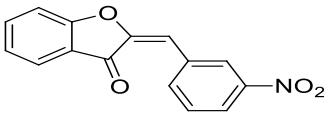
Benzofuran-3(2H)-one [14] onaldol type condensation with different benzaldehydes **2** (Scheme 1) using cerium chloride in DMF using microwave irradiation yielded the corresponding product **3** in good yields (Table 1). b Isolated yield.

Table 2: Synthesis of Aurones (3a-o)

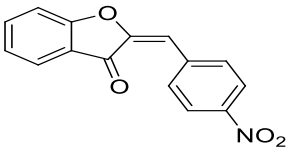




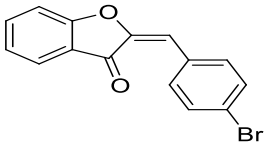
3a, 96%



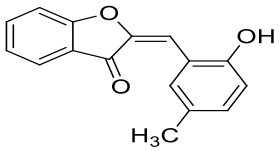
3b, 92%



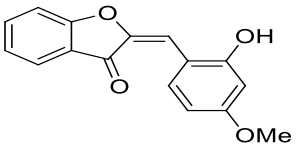
3c, 95%



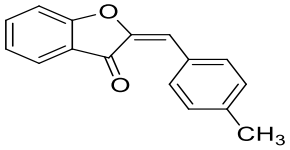
3d, 94%



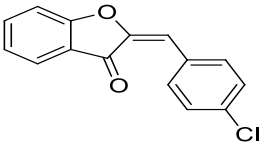
3e, 92%



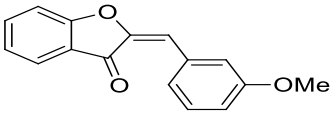
3f, 94%



3g, 96%



3h, 98%



3i, 94%

All the reactions were completed in 10 min to get desired product in good yields. All the compounds (**3a–i**) which were synthesized are confirmed by different spectral (NMR, IR and MS) analysis.

CONCLUSIONS

In conclusion, we reported the synthesis of various aurones which have potential activity against different breast cancer cells. All the compounds are synthesized from benzofuran one with a range of aromatic aldehydes using cerium chloride under microwave.

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